

539, 274

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
8 July 2004 (08.07.2004)

PCT

(10) International Publication Number
WO 2004/056844 A1

(51) International Patent Classification⁷: **C07H 17/08**,
A61K 31/70, A61P 33/00

(21) International Application Number:
PCT/EP2003/014613

(22) International Filing Date:
19 December 2003 (19.12.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0229804.0 20 December 2002 (20.12.2002) GB

(71) Applicant (for all designated States except US): **SYNGENTA PARTICIPATIONS AG** [CH/CH]; Schwarzwaldallee 215, CH-4058 Basel (CH).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **MAIENFISCH, Peter** [CH/CH]; Syngenta Crop Protection AG, Schwarzwaldallee 215, CH-4058 Basel (CH). **MURPHY KESSABI, Fiona** [IE/CH]; Syngenta Crop Protection AG, Schwarzwaldallee 215, CH-4058 Basel (CH). **CAS-SAYRE, Jérôme** [FR/CH]; Syngenta Crop Protection

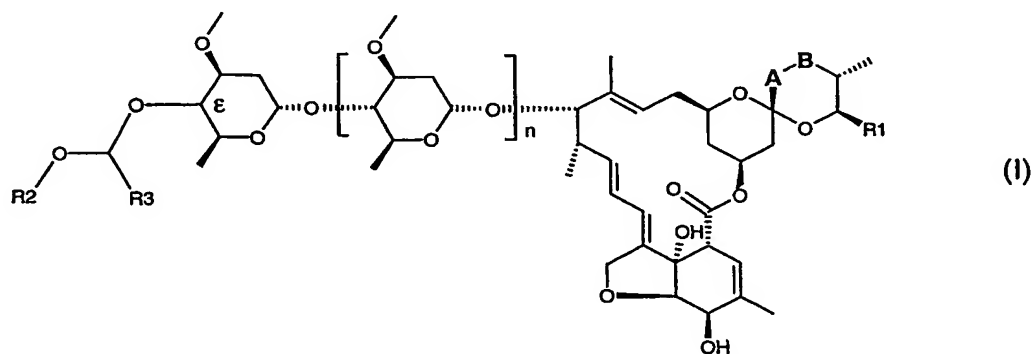
AG, Schwarzwaldallee 215, CH-4058 Basel (CH). **QUARANTA, Laura** [IT/CH]; Syngenta Crop Protection AG, Schwarzwaldallee 215, CH-4058 Basel (CH). **PITTERNA, Thomas** [AT/CH]; Syngenta Crop Protection AG, Schwarzwaldallee 215, CH-4058 Basel (CH). **HUETER, Ottmar, Franz** [DE/CH]; Syngenta Crop Protection AG, Schwarzwaldallee 215, CH-4058 Basel (CH). **JUNG, Pierre** [FR/CH]; Syngenta Crop Protection AG, Schwarzwaldallee 215, CH-4058 Basel (CH).

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (*regional*): ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE,

[Continued on next page]

(54) Title: **AVERMECTIN B1 AND AVERMECTIN B1 MONOSACCHARIDE DERIVATIVES HAVING AN ALKOXYMETHYL SUBSTITUENT IN THE 4''- OR 4'-POSITION**



(57) Abstract: What is described are a compound of the formula (I): wherein n is 0 or 1; A-B is -CH=CH- or -CH₂-CH₂-; R₁ is C₁-C₁₂-alkyl, C₃-C₈-cycloalkyl or C₂-C₁₂-alkenyl; R₂ is for example C₁-C₁₂-alkyl, C₂-C₁₂-alkenyl or C₂-C₁₂-alkinyl; which are optionally substituted with one to five substituents selected from the group consisting of OH, halogen, CN, -N₃, -NO₂, C₃-C₈-Cycloalkyl, norbornylenyl-, C₃-C₈-Cycloalkenyl; C₃-C₈-halocycloalkyl, C₁-C₁₂-alkoxy, C₁-C₆-alkoxy-C₁-C₆-alkoxy, C₃-C₈-cycloalkoxy, C₁-C₁₂-haloalkoxy, C₁-C₁₂-alkylthio, C₃-C₈-cycloalkylthio, C₁-C₁₂-haloalkylthio, C₁-C₁₂-alkylsulfanyl, C₃-C₈-cycloalkylsulfanyl, C₁-C₁₂-haloalkylsulfanyl, C₃-C₈-halocycloalkylsulfanyl, C₁-C₁₂-alkylsulfonyl, C₃-C₈-cycloalkylsulfonyl, C₁-C₁₂-haloalkylsulfonyl, C₃-C₈-halocycloalkylsulfonyl, -NR₄R₆, -X-C(=Y)-R₄, -X-C(=Y)-Z-R₄, -P(=O)(OC₁-C₆-alkyl)₂, aryl, heterocyclyl, aryloxy, arylthio and heterocyclyloxy; R₃ is for example H, C₁-C₁₂-alkyl or C₁-C₁₂-alkyl which is optionally substituted and, where applicable, to E/Z isomers, mixtures of E/Z isomers and/or tautomers, in each case in free form or in salt form; a process for preparing and using these compounds and their tautomers; pesticides whose active compound is selected from these compounds and their tautomers; and a process for preparing these compounds and compositions, and the use of these compounds and compositions.

WO 2004/056844 A1



SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

Published:

- *with international search report*
- *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments*